

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A method for the treatment, amelioration and/or prophylaxis of a neurological condition which comprises the administration of an effective amount of a compound of formula I:

$$R^4$$
 R^3
 R^5
 R^5
 R^4
 R^3
 R^2

in which

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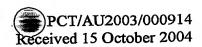
R¹ is H, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted acyl, optionally substituted heterocyclyl, an antioxidant or a targeting moiety;

I

R² is H; optionally substituted alkyl; optionally substituted alkenyl; optionally substituted aryl; optionally substituted heterocyclyl; optionally substituted alkoxy; an antioxidant; a targeting moiety; COR⁶ or CSR⁶ in which R⁶ is H, optionally substituted alkyl, optionally substituted alkenyl, hydroxy, optionally substituted aryl, optionally substituted heterocyclyl, an antioxidant, a targeting moiety, OR⁷, SR⁷ or NR⁷R⁸ in which R⁷ and R⁸ are either the same or different and selected from H, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl or optionally substituted heterocyclyl; CN; (CH₂)_nNR⁹R¹⁰, HCNOR⁹ or HCNNR⁹R¹⁰ in which R⁹ and R¹⁰ are either the same or different and selected from H, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl or optionally substituted heterocyclyl and n is 1 to 4; OR¹¹, SR¹¹ or NR¹¹R¹² in which R¹¹ and R¹² are either the same or different and selected from H, optionally substituted alkyl, optionally substituted heterocyclyl; or SO₂NR¹³R¹⁴ in which R¹³ and R¹⁴ are either the same or different and selected from H, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl or optionally substituted heterocyclyl; and

R³, R⁴, R⁵, R and R are either the same or different and selected from H, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted acyl, hydroxy, optionally substituted amino, optionally substituted thio,





optionally substituted sulphonyl, optionally substituted sulphinyl, optionally substituted sulphonylamino, halo, SO₃H, amine, CN, CF₃, optionally substituted aryl, optionally substituted heterocyclyl, an antioxidant or a targeting moiety,

salts, hydrates, solvates, derivatives, pro-drugs, tautomers and/or isomers thereof with the provisos that:

- (a) when R¹ to R³, R and R are H, then R⁴ is not Cl or I and R⁵ is not I;
- (b) when R¹ to R³, R, R, and R⁵ are H, then R⁴ is not CHO, CHOHCCl₃,

$$\mathsf{CH_2C} \overset{\mathsf{CH_3}}{\underset{\mathsf{CH_3}}{\longleftarrow}} \mathsf{NO_2} \; \mathsf{, CH_2OCH_3} \; \mathsf{, CH_2N} \mathsf{(C_2H_5)_2} \; \mathsf{, CH_2N} \\ \mathsf{NCH_3} \; \mathsf{, CH_3N} \\ \mathsf{NCH_3N} \\ \mathsf{, CH_3N} \\ \mathsf$$

$$CH_2N$$
 NCH_2CH_2OH , CH_2N $NCO_2C_2H_5$, CH_2N $NBOC$ $NBOC$

$$CH_2$$
 CH_2 CH_2

- (c) when R^1 , R^5 , R^1 and R are H, R^2 is CO_2H and R^3 is OH, then R^4 is not bromo, methyl, phenyl, hydroxymethyl or trifluoromethyl;
- (d) when R¹, R⁴, R⁵ and R are H, R² is CO₂H and R³ is OH, then R is not bromo, iodo, methyl, phenyl, propyl, phenethyl, heptyl, benzylaminomethyl, 3-aminopropyl, 3-hydroxypropyl, 4-methoxyphenyl, 3-methylphenyl, 4-chlorophenyl, 3,4-dichlorophenyl, pyridin-3-yl, furo-2-yl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-chlorophenyl, 3-chlorophenyl, 2-methoxyphenyl or piperidin-2-yl;
- (e) when R¹, R⁴, R and R ' are H, R² is CO₂H and R³ is OH, then R⁵ is not phenyl, 3-hydroxypropyl, phenethyl, 3-aminoprop-1-yl or hex-1-yl;
 - (f) when R¹, R⁴, R ' and R⁵ are H, R² is CO₂H and R³ is OH, then R is not N-

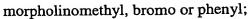
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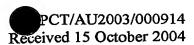


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- (g) when R¹, R and R ' are H, R² is CO₂H and R³ is OH, then R⁴ and R⁵ are not chloro;
- (h) when R¹, R⁴ and R ' are H, R² is CO₂H and R³ is OH, then R and R⁵ are not bromo;
 - (i) when R^1 , R, R^1 and R^5 are H, R^2 is CO_2Me and R^3 is OH, then R^4 is not hydroxymethyl, phenyl or bromo;
 - (j) when R¹, R, R⁴ and R⁵ are H, R² is CO₂Me and R³ is OH, then R is not 4-methoxyphenyl, 3-methylphenyl, pyridin-3-yl, benzyl, bromo, 4-chlorophenyl, 3,4-dichlorophenyl, 3-hydroxypropyl or 3-tert-butoxycarbonylaminopropyl;
 - (k) when R¹, R, R⁴ and R' are H, R² is CO₂Me and R³ is OH, then R⁵ is not phenyl or 3-tert-butoxycarbonylaminoprop-1-yl;
 - (l) when R¹, R, R⁴, R' and R⁵ are H and R² is CO₂Me, then R³ is not toluene-4-sulphonylamino, piperazin-1-yl, morpholin-1-yl, piperidin-1-yl, 4-methylpiperazin-1-yl, 3-benzoylaminoprop-1-yl, phenethyl, 3-tert-butoxycarbonylaminopropyl, 3-hydroxypropyl, amino or hex-1-yl;
 - (m) when R^1 , R^4 , R^1 and R^5 are H, R^2 is CO_2Na and R^3 is OH, then R is not phenyl;
 - (n) when R¹, R, R⁴, R' and R⁵ are H and R² is CO₂H, then R³ is not phenyl, 4-chlorophenyl, phenethyl, 3-hydroxypropyl, amino, morpholin-1-yl, piperidin-1-yl, 4-methylpiperazin-1-yl, toluene-4-sulphonylamino, 3-benzoylaminoprop-1-yl, aminoprop-1-ynyl, hex-1-yl, 5-hydroxypent-1-yl, piperazin-1-yl or 2-(1-piperazinyl)pyrimidinyl;
 - (o) when R^1 , R' and R are H, R^2 is CO_2Me and R^3 is OH, then R^4 and R^5 are not chloro;
 - (p) when R¹, R⁴, R' and R⁵ are H, R² is CO₂Me and R³ is OH, then R is not bromo;
 - (q) when R^1 , R' and R^4 are H, R^2 is CO_2Me and R^3 is OH, then R and R^5 are not bromo;
- (r) when R¹, R, R³, R' and R⁵ are H and R² is CO₂H, then R⁴ is not phenyl, 4-30 chlorophenyl or phenylethyl;
 - (s) when R¹, R⁵, R', R⁴, R³ and R are H, then R² is not 2H-tetrazol-1-yl;
 - (t) when R^1 , R^5 , R^4 and R are H, R^2 is CO_2H and R^3 is OH, then R' is not 3,5-dichlorophenyl or 4-fluorophenyl; and
 - (u) at least one of R¹ to R⁵, R and R' is other than H, to a subject in need thereof.



2. A method according to claim 1, in which the compound of the formula I is either:

(i) Formula Ia

$$R^3$$
 R^2
 R^2

Ia

5 in which:

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R, R¹ and R³ are as defined in claim 1; and

 R^2_a is H; optionally substituted C_{1-6} alkyl; optionally substituted C_{1-6} alkenyl; optionally substituted aryl; optionally substituted heterocyclyl; an antioxidant; a targeting moiety; COR^6_a or CSR^6_a in which R^6_a is H, optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, hydroxy, optionally substituted aryl, optionally substituted heterocyclyl or OR^7_a , SR^7_a or $NR^7_aR^8_a$ in which R^7_a and R^8_a are either the same or different and selected from H, optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted heterocyclyl; CN; $CH_2NR^9_aR^{10}_a$, $HCNOR^9_a$ or $HCNNR^9_aR^{10}$ in which R^9_a and R^{10}_a are either the same or different and selected from H, optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted aryl or optionally substituted C_{1-6} alkyl, optionally substituted aryl or optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted heterocyclyl; or $SO_2NR^{13}_aR^{14}_a$ in which R^{13}_a and R^{14}_a are either the same or different and selected from H or optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl or optionally substituted heterocyclyl; or

(ii) Formula Ib

$$R^{4}$$
 R^{5}
 R^{5}
 R^{5}
 R^{2}
 R^{5}

in which:

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3.

follows:

R¹, R', R, R² and R³ are as defined in claim 1;

 R^4_b and R^5_b are either the same or different and selected from H; optionally substituted C_{1-6} alkyl; optionally substituted C_{2-6} alkenyl; halo; CN; CF₃; optionally substituted aryl; optionally substituted heterocyclyl; an antioxidant; a targeting moiety; SO_3H ; $SO_2NR^{13}_aR^{14}_a$ in which R^{13}_a and R^{14}_a are as defined in formula Ia above; or OR^{15}_b , SR^{15}_b , $SO_2R^{15}_b$, $CONR^{15}_bR^{16}_b$ or $NR^{15}_bR^{16}_b$ in which R^{15}_b and R^{16}_b are either the same or different and selected from H, optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{1-6} acyl, optionally substituted aryl or optionally substituted heterocyclyl, including provisos (a) to (c), (e), (g), (h), (I), (k), (o), (q), (r), and (u) as defined in

claim 1.

A method according to claim 2, in which the compound of formula Ia is as

Formula IIa

IIa

in which:

 R^1 is as defined in claim 1 or claim 2; and $R^{2'}_{a}$ is optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl,

optionally substituted aryl or optionally substituted heterocyclyl;

• Formula IIIa

$$C(O,S)R^{6'}$$

· IIIa

5 in which:

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 R^1 and R^3 are as defined in claim 1 or claim 2; and $R^{6'}_a$ is optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, hydroxy, OR^{7}_a , SR^{7}_a , $N_2R^{7}_aR^{8'}_a$, or $NR^{7'}_aR^{8'}_a$ in which $R^{7'}_a$ and $R^{8'}_a$ are either the same or different and selected from H, optionally substituted C_{1-6} alkyl, optionally substituted aryl or optionally substituted heterocyclyl;

Formula IVa

IVa

in which:

R¹ is as defined in claim 1 or claim 2; and

 $R^{2"}_{a}$ is CN; $CH_{2}NR^{9'}_{a}R^{10'}_{a}$, $HCNOR^{9'}_{a}$ or $HCNNR^{9'}_{a}R^{10'}_{a}$ in which $R^{9'}_{a}$ and $R^{10'}_{a}$ are either the same or different and selected from H, optionally substituted C_{1-6} alkyl, optionally substituted alkenyl, optionally substituted heterocyclyl;

Formula Va

Va

in which:

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R¹ is as defined in claim 1 or claim 2; and

 R^{11}_{a} and R^{12}_{a} are either the same or different and selected from H, optionally substituted C_{1-6} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted aryl and optionally substituted heterocyclyl or together form optionally substituted heterocyclyl; or

Formula VIa

$$SO_2NR^{13'}{}_aR^{14'}{}_a$$

VIa

· in which:

R¹ is as defined in claim 1 or claim 2; and

R¹³ and R¹⁴ are either the same or different and selected from H, optionally substituted C₁₋₆ alkyl, optionally substituted C₂₋₆ alkenyl, optionally substituted aryl or optionally substituted heterocyclyl.

4. A method according to claim 2, in which the compound of the formula Ib is as follows:

Formula IIb

$$R^1$$
 $R^{4'}$
 R^5'
 R^5
 R^2

IIb

in which:

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R¹, R', R, R² and R³ are as defined in claim 1 or claim 2; and R⁴ and R⁵ are as defined in formula Ib above provided that at least one is halo, including provisos (a), (c), (g), (h), (i), (o), (q) and (u) defined in claim 1;

• Formula IIIb

in which:

R¹ is as defined in claim 1 or claim 2;

R⁴_b" is H or halo; and

 R_b^{5} is optionally substituted aryl or optionally substituted heterocyclyl;

• Formula IVb

IVb

in which:

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 R^1 is as defined in claim 1 or claim 2; $R^{"}$ is C_{1-6} alkoxy, halo, C_{1-6} alkyl, C_{2-6} alkenyl or C_{1-6} haloalkyl; and R^5_b is H or halo;

• Formula Vb

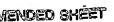
$$R^{\frac{n}{\|}}$$

$$QR^{1}$$

Vb

in which

R¹ is as defined in claim 1 or claim 2; and R" is as defined in formula IVb above; or



Formula VIb

$$R^{5}$$
 R^{4}
 R^{3}
 R^{2}
 R^{5}
 R^{5}

VIb

in which:

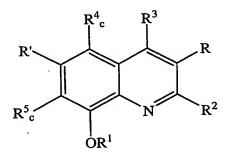
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R² to R⁵, R and R' are as defined in claim 1 or claim 2; and

 R_b^1 is optionally substituted C_{1-6} alkyl, optionally substituted aryl, optionally substituted aryl acyl, C_{1-6} alkyl acyl or optionally substituted heterocyclyl.

- 5. A method according to any one of claims 1, 2 or 4, in which the compound of formula I is a compound of formula Ib or IIb in which R^4_b and R^5_b or R^4_b ' and R^5_b ' are both halo.
- 10 6. A method according to claim 5, in which the halo is chloro.
 - 7. A method according to any one of claims 1, 2 or 4 to 6, in which at least one of R^2 , R, R^3 and R^4 is optionally substituted alkyl, optionally substituted aryl, optionally substituted heterocyclyl, $CH_2NR^9R^{10}$ in which R^9 and R^{10} are as defined in claim 1, COR^6 in which R^6 is NR^7R^8 in which R^7 and R^8 are as defined in claim 1 or $NR^{11}R^{12}$ in which R^{11} and R^{12} are as defined in claim 1.
 - 8. A method for the treatment, amelioration and/or prophylaxis of a neurological condition which comprises the administration of an effective amount of a compound of formula Ic:



Ic

in which

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R¹, R², R³, R and R' are as defined in claim 1; and

at least one of R^4_c and R^5_c is halo and the other is selected from H, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkoxy, optionally substituted acyl, hydroxy, optionally substituted amino, optionally substituted thio, optionally substituted sulphonyl, optionally substituted sulphonylamino, SO_3H , amine, CN, CF_3 , optionally substituted aryl, optionally substituted heterocyclyl, an antioxidant and a targeting moiety,

salts, hydrates, solvates, derivatives, pro-drugs, tautomers and/or isomers thereof with the provisos that:

(a) when R^1 to R^3 , R and R' are H, then R^4_c is not chloro or iodo and R^5_c is

(b) when R¹, R⁵_c, R' and R are H, R² is CO₂H and R³ is OH, then R⁴_c is not

bromo;

(c) when R¹, R and R' are H, R² is CO₂H and R³ is OH, then R⁴_c and R⁵_c are not chloro;

(d) when R¹, R⁴_c and R' are H, R² is CO₂H or CO₂Me and R³ is OH, then R and R⁵_c are not bromo;

(e) when R¹, R, R' and R⁵_c are H, R² is CO₂Me and R³ is OH, then R⁴_c is not

20 bromo; and

not iodo;

(f) when R¹, R and R' are H, R² is CO₂Me and R³ is OH, then R⁴_c and R⁵_c are not chloro,

to a subject in need thereof.

9. A method for the treatment, amelioration and/or prophylaxis of a neurological condition which comprises the administration of an effective amount of a compound of formula IIc:

in which

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R², R, R', R⁴c and R⁵c are as defined in claim 8; and

R³¹ is H, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkoxy, optionally substituted acyl, optionally substituted amino, optionally substituted thio, optionally substituted sulphonyl, optionally substituted sulphinyl, optionally substituted sulphonylamino, halo, SO₃H, amine, CN, CF₃, optionally substituted aryl, optionally substituted heterocyclyl, an antioxidant or a targeting moiety,

with the proviso that at least one of R, R² and R³ is other than H, salts, hydrates, solvates, derivatives, prodrugs, tautomers and/or isomers thereof, to a subject in need thereof.

10. A method according to claim 8 or claim 9, in which the compound of the formula IIc is as follows:

o Formula IIIc

R⁵°c OR¹

in which:

 R^1 is as defined in claim 1 and R^4_c is as defined in claim 8; and R^5_c " is optionally substituted aryl or optionally substituted heterocyclyl;

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o Formula IVc

$$R^{5}_{c}$$
 OR^{1}

IVc

in which:

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claim 4; and

 R^1 is as defined in claim 1, R^5_c is as defined in claim 8 and R^{\shortparallel} is as defined in

o Formula Vc

$$R^{5}$$
 R^{4} R^{3} R R^{2} R^{5} R^{2}

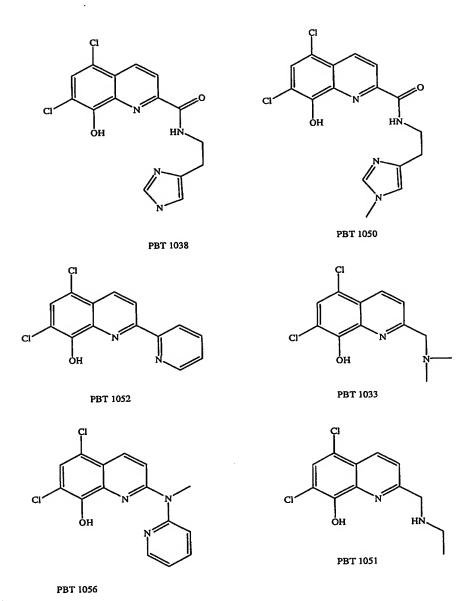
Vc

in which:

- 10 R^2 , R^3 , R and R' are as defined in claim 1, R^4 _c and R^5 _c are as defined in claim 8 and R^1 _b is as defined in claim 4.
 - 11. A method according to any one of claims 8 to 10, in which R⁴_c and R⁵_c are both halo.
 - 12. A method according to claim 11, in which the halo is chloro.

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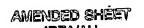
- 13. A method according to any one of claims 8 to 12, in which at least one of R^2 , R, R^3 and R' is optionally substituted alkyl, optionally substituted aryl, optionally substituted heterocyclyl, $CH_2NR^9R^{10}$ in which R^9 and R^{10} are as defined in claim 1, COR^6 in which R^6 is NR^7R^8 in which R^7 and R^8 are as defined in claim 1 or $NR^{11}R^{12}$ in which R^{11} and R^{12} are as defined in claim 1.
- 14. A method according to any one of claims 1, 2 or 4 to 13, in which the compound is as follows:



15. A method according to any one of claims 1 to 14, in which the neurological

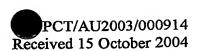
condition is a neurodegenerative disorder.

- 16. A method according to claim 15, in which the neurodegenerative disorder is neurodegenerative amyloidosis.
- 17. A method according to claim 15 or claim 16, in which the neurodegenerative disorder is sporadic or familial Alzheimer's disease, amyotrophic lateral sclerosis, cataract, Parkinson's disease, Creutzfeldt-Jacob disease and its new variant associated with "mad cow" disease, Huntington's disease, dementia with Lewy body formation, multiple system atrophy, Hallerboden-Spatz disease, diffuse Lewy body disease, fatal familial insomnia, Gertsmann Straussler Sheinker disease or hereditary cerebral haemorrhage with amyloidosis-Dutch type.
- 18. A method according to claim 17, in which the neurodgenerative disorder is Parkinson's disease.
- 19. A method according to any one of claims 15 to 17, in which the neurodegenerative disorder is an Aβ-related condition.
- 20. A method according to claim 19, in which the Aβ-related condition is
 20 Alzheimer's disease or dementia associated with Down syndrome or one of several forms of autosomal dominant forms of familial Alzheimer's disease.
 - 21. A method according to any one of the preceding claims which slows, reduces or arrests the cognitive decline of the subject.
- 22. A method according to any one of the preceding claims, which further comprises
 separate, sequential or simultaneous administration of another medicament.
- 23. A method according to claim 22, in which the other medicament is an inhibitor of the acetylcholinesterase active site, an antioxidant, an anti-inflammatory agent or an oestrogenic agent.
 - 24. A method according to any one of the preceding claims, in which the compound is administered orally, topically or parenterally.
 - Use of the compound as defined in any one of claims 1 to 14, in the manufacture of a medicament for the treatment, amelioration and/or prophylaxis of a neurological condition.



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- 26. Use of a compound as defined in any one of claims 1 to 14 for the treatment, amelioration and/or prophylaxis of a neurological condition.
- 5 27. A compound as defined in any one of claims 1 to 14 for use in the treatment, amelioration and/or prophylaxis of a neurological condition.
 - 28. Use of the compound as defined in any one of claims 1 to 14, as a pharmaceutical.
- Use according to claim 28, in which the pharmaceutical is a neurotherapeutic or neuroprotective agent.
- 30. Use according to claim 28 or claim 29, in which the pharmaceutical is an antiamyloidogenic agent.
 - 31. A pharmaceutical or veterinary composition comprising the compound as defined in any one of claims 1 to 14 and a pharmaceutically or veterinarily acceptable carrier.
- 20 32. A composition according to claim 31 which further comprises another medicament.
 - 33. A composition according to claim 32, in which the other medicament is an inhibitor of the acetylcholinesterase active site, an antioxidant, an anti-inflammatory agent or an oestrogenic agent.
 - A compound as defined in any one of claims 1 to 7, with the provisos that:

 (a) when R¹ and R³ to R⁵, R and R' are H, then R² is not H, methyl,



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CO₂H, CN, CONCH₂CO₂H, COCH₃, CH₂NH₂, CNOH, (pyrid-2-yl), 2-hydroxyphenyl, CHNNH₂, NH-(pyrid-2-yl),

$$\bigcap_{C_4 H_{10}}^{N} \bigcap_{C_4 H_{30}}^{N} \text{ or } SO_3H;$$

(b) when R¹ and R⁴ to R⁷ are H, then R³ is not OH and R² is not CO₂H;

(c) when R¹ to R³, R⁶ and R⁷ are H, then (i) when R⁵ is I, R⁴ is not Cl, SO₃H or I; (ii) when R⁵ is H, R⁴ is not SO₃H, NH₂ or Cl; (iii) R⁴ and R⁵ are both not Cl, Br or CH₃; and (iv) when R² to R⁷ are H, then R¹ is not

(d) when R1 to R3, R and R' are H, then R4 is not Cl or I and R5 is not I;

(e) when R1 to R3, R, R' and R5 are H, then R4 is not CHO, CHOHCCl3,

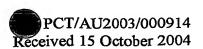
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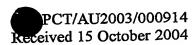


- (f) when R^1 , R^5 , R^1 and R are H, R^2 is CO_2H and R^3 is OH, then R^4 is not bromo, methyl, phenyl, hydroxymethyl or trifluoromethyl;
- (g) when R¹, R⁴, R⁵ and R are H, R² is CO₂H and R³ is OH, then R¹ is not bromo, iodo, methyl, phenyl, propyl, phenethyl, heptyl, benzylaminomethyl, 3-aminopropyl, 3-hydroxypropyl, 4-methoxyphenyl, 3-methylphenyl, 4-chlorophenyl, 3,4-dichlorophenyl, pyridin-3-yl, furo-2-yl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-chlorophenyl, 3-chlorophenyl, 2-methoxyphenyl or piperidin-2-yl;
- (h) when R¹, R⁴, R and R' are H, R² is CO₂H and R³ is OH, then R⁵ is not phenyl, 3-hydroxypropyl, phenethyl, 3-aminoprop-1-yl or hex-1-yl;
- (i) when R^1 , R^4 , R^4 and R^5 are H, R^2 is CO₂H and R^3 is OH, then R is not N-morpholinomethyl, bromo or phenyl;
- (j) when R¹, R and R¹ are H, R² is CO₂H and R³ is OH, then R⁴ and R⁵ are not chloro;
- (k) when R¹, R⁴ and R ' are H, R² is CO₂H and R³ is OH, then R and R⁵ are not bromo;
- (1) when R^1 , R, R^1 and R^5 are H, R^2 is CO_2Me and R^3 is OH, then R^4 is not hydroxymethyl, phenyl or bromo;
- (m) when R¹, R, R⁴ and R⁵ are H, R² is CO₂Me and R³ is OH, then R is not 4-methoxyphenyl, 3-methylphenyl, pyridin-3-yl, benzyl, bromo, 4-chlorophenyl, 3,4-dichlorophenyl, 3-hydroxypropyl or 3-tert-butoxycarbonylaminopropyl;
- (n) when R^1 , R, R^4 and R' are H, R^2 is CO_2Me and R^3 is OH, then R^5 is not phenyl or 3-tert-butoxycarbonylaminoprop-1-yl;
- (o) when R¹, R, R⁴, R' and R⁵ are H and R² is CO₂Me, then R³ is not toluene-4-sulphonylamino, piperazin-1-yl, morpholin-1-yl, piperidin-1-yl, 4-methylpiperazin-1-yl, 3-benzoylaminoprop-1-yl, phenethyl, 3-tert-butoxycarbonylaminopropyl, 3-hydroxypropyl, amino or hex-1-yl;
 - (p) when R¹, R⁴, R' and R⁵ are H, R² is CO₂Na and R³ is OH, then R is not phenyl;
- (q) when R¹, R, R⁴, R' and R⁵ are H and R² is CO₂H, then R³ is not phenyl, 4-chlorophenyl, phenethyl, 3-hydroxypropyl, amino, morpholin-1-yl, piperidin-1-yl, 4-methylpiperazin-1-yl, toluene-4-sulphonylamino, 3-benzoylaminoprop-1-yl, aminoprop-1-ynyl, hex-1-yl, 5-hydroxypent-1-yl, piperazin-1-yl or 2-(1-piperazinyl)pyrimidinyl;
- (r) when R¹, R' and R are H, R² is CO₂Me and R³ is OH, then R⁴ and R⁵ are not chloro;
 - (s) when R¹, R⁴, R' and R⁵ are H, R² is CO₂Me and R³ is OH, then R is not bromo;
- (t) when R¹, R' and R⁴ are H, R² is CO₂Me and R³ is OH, then R and R⁵ are not bromo;
 - (u) when R¹, R, R³, R' and R⁵ are H and R² is CO₂H, then R⁴ is not phenyl, 4-

chlorophenyl or phenylethyl;

- (v) when R¹, R⁵, R', R⁴, R³ and R are H, then R² is not 2H-tetrazol-1-yl;
- (w) when R^1 , R^5 , R^4 and R are H, R^2 is CO_2H and R^3 is OH, then R' is not 3,5-dichlorophenyl or 4-fluorophenyl; and
 - (x) at least one of R¹ to R⁵, R and R' is other than H;
 - (y) when R¹ to R³, R⁵, R' and R are H, then R⁴ is not chloro, NH₂ or SO₃H; and
 - (z) when R¹, R³ to R⁵, R and R' are H, then R² is not CH₃.
- 35. A compound of formula Ic as defined in claim 8, with the additional provisos
- 10 that:

- (g) when R^1 to R^3 , R and R' are H, then R^4_c and R^5_c are both not chloro or bromo;
- and (h) when R^1 to R^3 , R^5 _c, R and R' are H, then R^4 _c is not chloro.
- 15 36. A compound of formula IIc as defined in claim 9.
 - 37. A compound according to claim 35 or claim 36 in which R_c^4 and R_c^5 are both halo.
- 20 38. A compound according to claim 37, in which the halo is chloro.
 - A compound according to any one of claims 35 to 38, in which at least one of R², R, R³ and R' is optionally substituted alkyl, optionally substituted aryl, optionally substituted heterocyclyl, CH₂NR⁹R¹⁰ in which R⁹ and R¹⁰ are as defined in claim 1, COR⁶ in which R⁶ is
- NR⁷R⁸ in which R⁷ and R⁸ are as defined in claim 1 or NR¹¹R¹² in which R¹¹ and R¹² are as defined in claim 1.



40. A compound according to any one of claims 34 to 39, which is as follows:

41. A process for the preparation of the compound as defined in any one of claims 34 to 40 as described herein.

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